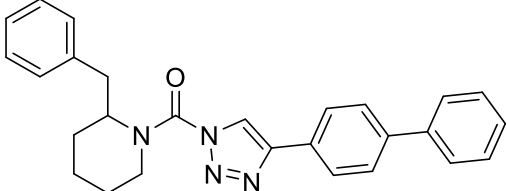


Product data sheet



| | |
|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------------------------------------------------------------------------------------|
| MedKoo Cat#: 530444 Name: KT109 CAS: 1402612-55-8 Chemical Formula: C ₂₇ H ₂₆ N ₄ O Exact Mass: 422.2107 Molecular Weight: 422.532 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks. |

1. Product description:

KT109 is a selective inhibitor of DAGLβ with an IC₅₀ value of 42 nM. DAGL-β (-/-) mice or KT109-treated wild-type mice displayed reductions in LPS-induced allodynia. Repeated KT109 administration prevented the expression of LPS-induced allodynia, without evidence of tolerance. Intraplantar injection of KT109 into the LPS-treated paw, but not the contralateral paw, reversed the allodynic responses. KT109 also reversed allodynia in the CCI and CINP models and lacked discernible side effects (e.g. gross motor deficits, anxiogenic behaviour or gastric ulcers).

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

| Solvent | Max Conc. mg/mL | Max Conc. mM |
|---------|-----------------|--------------|
| DMF | 10.0 | 23.67 |
| DMSO | 10.0 | 23.67 |

4. Stock solution preparation table:

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---------------------------------------|---------|----------|----------|
| 1 mM | 2.37 mL | 11.83 mL | 23.67 mL |
| 5 mM | 0.47 mL | 2.37 mL | 4.73 mL |
| 10 mM | 0.24 mL | 1.18 mL | 2.37 mL |
| 50 mM | 0.05 mL | 0.24 mL | 0.47 mL |

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

TBD

In vivo study

1. Khasabova IA, Gable J, Johns M, Khasabov SG, Kalyuzhny AE, Golovko MY, Golovko SA, Kiven S, Gupta K, Seybold VS, Simone DA. Inhibition of DAGLβ as a therapeutic target for pain in sickle cell disease. *Haematologica*. 2022 May 26. doi: 10.3324/haematol.2021.280460. Epub ahead of print. PMID: 35615929.

2. Luk J, Lu Y, Ackermann A, Peng X, Bogdan D, Puopolo M, Komatsu DE, Tong S, Ojima I, Rebecchi MJ, Kaczocha M. Contribution of diacylglycerol lipase β to pain after surgery. *J Pain Res*. 2018 Mar 5;11:473-482. doi: 10.2147/JPR.S157208. PMID: 29551907; PMCID: PMC5842774.

7. Bioactivity

Biological target:

KT109 is a potent and an isoform-selective inhibitor of diacylglycerol lipase-β (DAGLβ) with an IC₅₀ of 42 nM.

Product data sheet



In vitro activity

TBD

In vivo activity

Systemic and intraplantar administration of KT109, an inhibitor of DAGL β , decreased mechanical and heat hyperalgesia in HbSS mice. The decrease in hyperalgesia was accompanied by reductions in 2-AG, PGE2 and PGE2-G in the blood.

Reference: Haematologica. 2022 May 26. <https://pubmed.ncbi.nlm.nih.gov/35615929/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.